

ABSTRACT OF THE DISCLOSURE

Polysaccharides, which are widely used as an anticoagulation drugs, especially heparin, are clinically administered only by intravenous or subcutaneous injection because of their strong hydrophilicity and high negative charge. Amphiphilic heparin derivatives were synthesized by conjugation to bile acids, sterols, and alcanoic acids, respectively. These heparin derivatives were slightly hydrophobic, exhibited good solubility in water, and have high anticoagulation activity. These slightly hydrophobic heparin derivatives are efficiently absorbed in the gastrointestinal tract and can be used in oral dosage forms. Methods of using these amphiphilic heparin derivatives and similarly modified macromolecules for oral administration are also disclosed.

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